

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
14 October 2004 (14.10.2004)

PCT

(10) International Publication Number:  
**WO 2004/087692 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 405/12**,  
A61K 31/495

[DE/DE]; Mathildenstrasse 6, 64342 Seeheim-Jugenheim (DE).

(21) International Application Number: PCT/EP2004/002351

(74) Common Representative: **MERCK PATENT GMBH**;  
Frankfurter Strasse 250, 64293 Darmstadt (DE).

(22) International Filing Date:  
8 March 2004 (08.03.2004)

(25) Filing Language: German

(26) Publication Language: German

(30) Priority Data:  
103 15 285.7 4 April 2003 (04.04.2003) DE

(71) Applicant (for all designated States except US): **MERCK PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **SCHIEMANN, Kai** [DE/DE]; Am Rödergraben 8, 64342 Seeheim-Jugenheim (DE). **BÖTTCHER, Henning** [DE/DE]; Stiftstrasse 12, 64287 Darmstadt (DE). **HEINRICH, Timo** [DE/DE]; Franz-Gruber-Strasse 30, 64823 Gross-Umstadt (DE). **HÖLZEMANN, Günter** [DE/DE]; Gutenbergstrasse 6B, 64342 Seeheim-Jugenheim (DE). **VAN AMSTERDAM, Christoph** [DE/DE]; Schepp Allee 47, 64295 Darmstadt (DE). **BAR-TOSZYK, Gerd** [DE/DE]; Kreuzstrasse 57, 64331 Weiterstadt (DE). **LEIBROCK, Joachim** [DE/DE]; Mühlstrasse 91a, 64319 Pfungstadt (DE). **SEYFRIED, Christoph**,

(81) Designated states (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

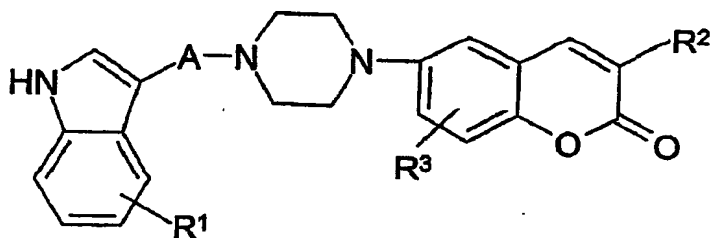
(84) Designated states (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CHROMENONEINDOLES



(I)

(57) Abstract: Chromenoneindole derivatives of the formula (I), in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R, A and B are as defined in Claim 1, and pharmaceutically usable prodrugs, derivatives, solvates, stereoisomers and salts thereof, exhibit particular actions on the central nervous system, in particular 5-HT reuptake-inhibiting and 5-HT<sub>x</sub>-agonistic and/or -antagonistic actions. They are distinguished by particularly high bioavailability and particularly high inhibition of 5-HT reuptake.